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- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

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For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: HCV NS-3 SERINE PROTEASE INHIBITORS

(57) Abstract: Peptidomimetic compounds are described which inhibit the NS3 protease of the hepatitis C virus (HCV). The compounds have the formula where the variable definitions are as provided in the specification. The compounds comprise a carbocyclic P2 unit in conjunction with a novel linkage to those portions of the inhibitor more distal to the nominal cleavage site of the native substrate, which linkage reverses the orientation of peptidic bonds on the distal side relative to those proximal to the cleavage site.



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INTERNATIONAL SEARCH REPORT

 International Application No
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A. CLASSIFICATION OF SUBJECT MATTER

 IPC 7 C07K5/02 A61K31/47 A61P31/12 C07D487/04 C07D401/12
 C07D245/04 C07D417/14

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07K C07D A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the International search (name of data base and, where practical, search terms used)

EPO-Internal, CHEM ABS Data, WPI Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 00/59929 A (BOEHRINGER INGELHEIM LTD; TSANTRIZOS, YOULA, S; CAMERON, DALE, R; FAU) 12 October 2000 (2000-10-12) cited in the application claims	1-57

☐ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

* Special categories of cited documents:

- *A* document defining the general state of the art which is not considered to be of particular relevance
- *E* earlier document but published on or after the international filing date
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- *T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- *X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- *Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- *G* document member of the same patent family

Date of the actual completion of the international search

4 August 2005

Date of mailing of the international search report

12/08/2005

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De Jong, B

INTERNATIONAL SEARCH REPORT

International application No.
PCT/SE2005/000097

Box II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

Although claims 55,57 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/SE2005/000097

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 0059929	A	12-10-2000	
		AT 277945 T	15-10-2004
		AU 778390 B2	02-12-2004
		AU 3548000 A	23-10-2000
		BG 105970 A	31-05-2002
		BR 0009599 A	15-01-2002
		WO 0059929 A1	12-10-2000
		CA 2367127 A1	12-10-2000
		CN 1346365 A ,C	24-04-2002
		CN 1618789 A	25-05-2005
		CZ 20013467 A3	12-06-2002
		DE 60014345 D1	04-11-2004
		DE 60014345 T2	17-02-2005
		EE 200100516 A	16-12-2002
		EP 1169339 A1	09-01-2002
		EP 1437362 A1	14-07-2004
		ES 2230084 T3	01-05-2005
		HK 1042714 A1	01-04-2005
		HR 20010720 A1	31-12-2002
		HU 0300121 A2	28-06-2003
		ID 30459 A	06-12-2001
		JP 2002542160 T	10-12-2002
		MX PA01010090 A	24-04-2002
		NO 20014857 A	31-10-2001
		NZ 515286 A	27-02-2004
		PL 350855 A1	10-02-2003
		SI 1169339 T1	28-02-2005
		SK 14072001 A3	05-03-2002
		TR 200102878 T2	21-01-2002
		US 2004002448 A1	01-01-2004
		US 6608027 B1	19-08-2003
		ZA 200107862 A	01-04-2004